- 16. The method of claim 4, wherein the microparticles comprise a plurality of sizes to provide for delivery of the active agent in a multi-phasic manner.
- 17. The method of claim 1, wherein a portion of the microparticles exhibit diffusional release and a portion of the microparticles exhibit biodegradation release.
- 18. The method of claim 2, wherein a portion of the microparticles exhibit diffusional release and a portion of the microparticles exhibit biodegradation release.
- 19. The method of claim 17, wherein a portion of the microparticles exhibit both diffusional release and biodegradation release.
- 20. The method of claim 18, wherein a portion of the microparticles exhibit both diffusional release and biodegradation release.
- 21. A sustained-release microparticle composition, comprising: a microparticle comprising a 1,2 benzazole of the formula

and the pharmaceutically acceptable acid addition salts thereof, wherein

R is hydrogen or alkyl of 1 to 6 carbon atoms;

R¹ and R² are independently selected from the group consisting of hydrogen, halo, hydroxy, alkyloxy of 1 to 6 carbon atoms, and C alkyl of 1 to 6 carbon atoms;

X is O or S;

Alk is C₁₋₄ alkanediyl; and

Q is a radical of formula

B

wherein

R³ is hydrogen or alkyl of 1 to 6 carbon atoms;

Z is —S—, —CH₂—, or —CR⁴=CR⁵—; where R⁴ and R⁵ are independently selected from the group consisting of hydrogen or alkyl of 1 to 6 carbon atoms;

A is a bivalent radical — CH_2 — CH_2 —, — CH_2 — CH_2 — CH_2 — or CR^6 = CR^7 —;

where R⁶ and R⁷ are independently selected from the group consisting of hydrogen, halo, amino or alkyl of 1 to 6 carbon atoms; and

R⁸ is hydrogen or hydroxyl;

and a biodegradable and biocompatible polymeric matrix that exhibits diffusional release of said 1,2 benzazole; and

a microparticle comprising a 1,2 benzazole of the formula

$$Q - Alk-N$$
 R
 R
 R

and the pharmaceutically acceptable acid addition salts thereof, wherein

R is hydrogen or alkyl of 1 to 6 carbon atoms;

R¹ and R² are independently selected from the group consisting of hydrogen, halo, hydroxy, alkyloxy of 1 to 6 carbon atoms, and C alkyl of 1 to 6 carbon atoms;

X is O or S;

Alk is C₁₋₄ alkanediyl; and

Q is a radical of formula

wherein

R³ is hydrogen or alkyl of 1 to 6 carbon atoms;

Z is —S—, — CH_2 —, or — CR^4 = CR^5 —; where R^4 and R^5 are independently selected from the group consisting of hydrogen or alkyl of 1 to 6 carbon atoms;

A is a bivalent radical — CH_2 — CH_2 — CH_2 — CH_2 — CH_2 —or CR^6 = CR^7 —; where R^6 and R^7 are independently selected from the group consisting of

P N

B

hydrogen, halo, amino or alkyl of 1 to 6 carbon atoms; and

R⁸ is hydrogen or hydroxyl;

and a biodegradable and biocompatible polymeric matrix that exhibits biodegradation release of said 1,2 benzazole.

22. The sustained-release microparticle composition of claim 21, wherein said 1,2 benzazole is selected from the group consisting of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one and the pharmaceutically acceptable acid addition salts thereof.

23. The sustained-release microparticle composition of claim 21, wherein said 1,2 benzazole is selected from the group consisting of 3-[2-[4-(6-fluoro-1,2,-benzisoxazol-3-yl)-1-piperidinyl]-6,7,8,9-tetrahydro-9-hydroxy-2-methyl-4H-pyridol[1,2-a]pyrimidin-4-one and the pharmaceutically acceptable acid addition salts thereof.

24. A sustained-release microparticle composition, comprising:

a first microparticle comprising a first active agent and a first biodegradable and biocompatible polymeric matrix, wherein said first active agent is selected from the group consisting of risperidone, 9-hydroxy-risperidone, and pharmaceutically acceptable acid addition salts of the foregoing, and wherein said first microparticle has a first rate of release of said active agent; and

a second microparticle comprising a second active agent and a second biodegradable and biocompatible polymeric matrix, wherein said second microparticle has a second rate of release of said active agent different from said first rate of release.

25. A method of making a multi-phasic sustained-release microparticle composition, comprising:

dissolving in a solvent an active agent and a biodegradable and biocompatible polymer to form an organic phase, wherein the active agent is selected from the group consisting of risperidone, 9-hydroxy-risperidone, and pharmaceutically acceptable acid addition salts of the foregoing;

b